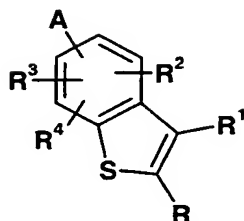


-77-

WE CLAIM:

1. The compounds of Formula I:



I

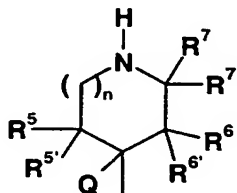
where:

R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

10 R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy, or -C(O)NHR<sup>9</sup>;

15 R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



(i)

-78-

n is 0, 1, or 2;

R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

Q is hydrogen;

5 R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen, or R<sup>5'</sup> and Q taken together with the carbon atoms to which they are attached form a double bond;

10 R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen, or R<sup>6'</sup> and Q taken together with the carbon atoms to which they are attached form a double bond;

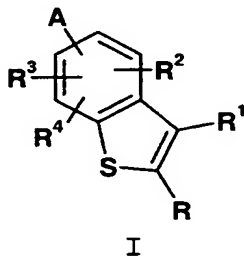
R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen;

15 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when n is 1 or 2, at least one of R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup>, must be other than hydrogen; and

20 b) no more than two of R<sup>5</sup>, R<sup>5'</sup>, R<sup>6</sup>, R<sup>6'</sup>, R<sup>7</sup>, and R<sup>7'</sup> may be other than hydrogen.

2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, 25 diluent or excipient, a compound of Formula I:



-79-

where:

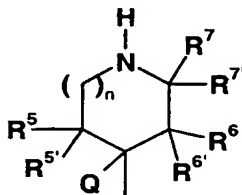
R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

5        R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy, or -C(O)NHR<sup>9</sup>;

10        R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



15

(i)

n is 0, 1, or 2;

20        R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

Q is hydrogen;

25        R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen, or R<sup>5'</sup> and Q taken together with the carbon atoms to which they are attached form a double bond;

R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen, or R<sup>6'</sup> and Q

-80-

taken together with the carbon atoms to which they are attached form a double bond;

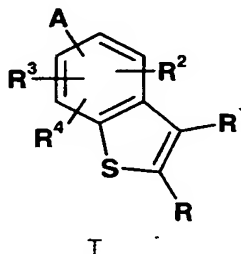
$R^{7'}$  is hydrogen or methyl, provided that  $R^{7'}$  may be methyl only when  $R^7$  is other than hydrogen;

5 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when  $n$  is 1 or 2, at least one of  $R^5$ ,  $R^6$ , and  $R^7$ , must be other than hydrogen; and

b) no more than two of  $R^5$ ,  $R^{5'}$ ,  $R^6$ ,  $R^{6'}$ ,  $R^7$ , and  $R^{7'}$   
10 may be other than hydrogen.

3. A method for increasing activation of the 5-HT<sub>2C</sub> receptor in mammals, comprising administering to a mammal in need of such activation a pharmaceutically effective amount  
15 of a compound of Formula I:



where:

$R$  is hydrogen, halo, trifluoromethyl or  $C_1$ - $C_6$  alkyl;

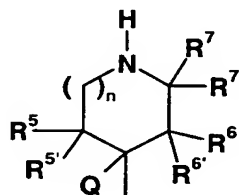
$R^1$  is hydrogen, halo, trifluoromethyl, phenyl, or  $C_1$ - $C_6$   
20 alkyl;

$R^2$ ,  $R^3$ , and  $R^4$  are independently hydrogen, halo, trifluoromethyl, cyano,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxycarbonyl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl substituted with a substituent selected from the group consisting of  $C_1$ - $C_4$  alkoxy and  
25 hydroxy, or  $-C(O)NHR^9$ ;

-81-

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the  
5 benzofuran nucleus and is an amine of formula:



(i)

n is 0, 1, or 2;

10 R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

Q is hydrogen;

R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen, or R<sup>5'</sup> and Q  
15 taken together with the carbon atoms to which they are attached form a double bond;

R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen, or R<sup>6'</sup> and Q  
20 attached form a double bond;

R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen;

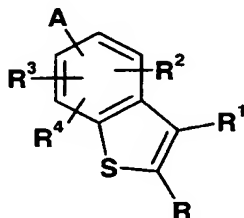
or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

25 a) when n is 1 or 2, at least one of R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup>, must be other than hydrogen; and

b) no more than two of R<sup>5</sup>, R<sup>5'</sup>, R<sup>6</sup>, R<sup>6'</sup>, R<sup>7</sup>, and R<sup>7'</sup> may be other than hydrogen.

-82-

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



I

where:

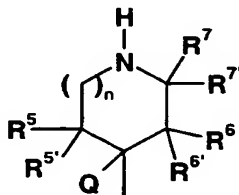
R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy, or -C(O)NHR<sup>9</sup>;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



-83-

(i)

n is 0, 1, or 2;

R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

5 Q is hydrogen;

R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen, or R<sup>5'</sup> and Q taken together with the carbon atoms to which they are attached form a double bond;

10 R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen, or R<sup>6'</sup> and Q taken together with the carbon atoms to which they are attached form a double bond;

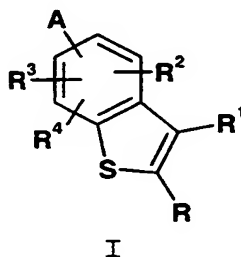
R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen;

15 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when n is 1 or 2, at least one of R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup>, must be other than hydrogen; and

20 b) no more than two of R<sup>5</sup>, R<sup>5'</sup>, R<sup>6</sup>, R<sup>6'</sup>, R<sup>7</sup>, and R<sup>7'</sup> may be other than hydrogen.

5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



-84-

where:

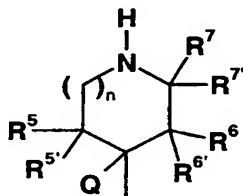
R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

5 R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy, or -C(O)NHR<sup>9</sup>;

10 R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



15

(i)

n is 0, 1, or 2;

20 R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

Q is hydrogen;

R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen, or R<sup>5'</sup> and Q taken together with the carbon atoms to which they are attached form a double bond;

25 R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen, or R<sup>6'</sup> and Q



-85-

taken together with the carbon atoms to which they are attached form a double bond;

$R^{7'}$  is hydrogen or methyl, provided that  $R^{7'}$  may be methyl only when  $R^7$  is other than hydrogen;

5 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when  $n$  is 1 or 2, at least one of  $R^5$ ,  $R^6$ , and  $R^7$ , must be other than hydrogen; and

b) no more than two of  $R^5$ ,  $R^{5'}$ ,  $R^6$ ,  $R^{6'}$ ,  $R^7$ , and  $R^{7'}$   
10 may be other than hydrogen.

*Sub A2* 6. A method of any of Claims 3, 4, or 5 where the  
mammal is human.

*ALL A3*